

# PCT

## REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference  
(if desired) (12 characters maximum) 1488

Box No. I TITLE OF INVENTION

BICYCLIC PYRIMIDINE DERIVATIVES

Box No. II APPLICANT

☐ This person is also inventor

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

KYOWA HAKKO KOGYO CO., LTD.

6-1, Ohtemachi 1-chome, Chiyoda-ku  
Tokyo 100-8185 JAPAN

Telephone No.  
03-3282-0036

Facsimile No.  
03-3282-1527

Teleprinter No.

Applicant's registration No. with the Office

State (that is, country) of nationality: JP

State (that is, country) of residence: JP

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

ARAI Hitoshi  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
Shizuoka 411-8731 Japan

This person is:

☐ applicant only  
☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

Applicant's registration No. with the Office

State (that is, country) of nationality: JP

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☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: ☐ agent ☐ common representative

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)

Telephone No.

Facsimile No.

Teleprinter No.

Agent's registration No. with the Office

☐ Address for correspondence: Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

## Continuation of Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

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MATSUMURA Tsutomu  
c/o Sakai Research Laboratories  
KYOWA HAKKO KOGYO CO., LTD.  
1-53, Takasu-cho 1-cho, Sakai-shi,  
Osaka 590-8554 JAPAN

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☒ applicant and inventor  
☐ inventor only (If this check-box is marked, do not fill in below.)

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ISHIDA Hiroshi  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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YAMAURA Yosuke  
c/o Head Office  
KYOWA HAKKO KOGYO CO., LTD.  
6-1, Ohtemachi 1-chome, Chiyoda-ku  
Tokyo 100-8185 JAPAN

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ARATAKE Seiji  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
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OHSIMA Etsuo  
c/o Head Office, KYOWA HAKKO KOGYO CO., LTD.  
6-1, Ohtemachi 1-chome, Chiyoda-ku, Tokyo 100-8185  
Japan

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YANAGAWA Koji  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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MIYAMA Motoki  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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SUZUKI Koji  
c/o Sakai Research Laboratories  
KYOWA HAKKO KOGYO CO., LTD.  
1-53, Takasu-cho 1-cho, Sakai-shi,  
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KAWABE Ari  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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NAKANISHI Satoshi  
c/o Head Office, KYOWA HAKKO KOGYO CO., LTD.  
6-1, Ohtemachi 1-chome, Chiyoda-ku, Tokyo 100-8185  
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KOBAYASHI Katsuya  
  
Flat 12, Alan Lodge, 397-399, Nether Street,  
London N3 1QH UK

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SATO Takashi  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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MIKI Ichiro  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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UENO Kimihisa  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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FUJII Shinya  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
1188, Shimotogari, Nagaizumi-cho, Sunto-gun,  
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IWASE Miho  
c/o Pharmaceutical Research Institute  
KYOWA HAKKO KOGYO CO., LTD.  
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**Box No. V DESIGNATION OF STATES**

Mark the applicable check-boxes below; at least one must be marked.

The following designations are hereby made under Rule 4.9(a):

**Regional Patent**

- ☒ **AP ARIPO Patent:** GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, MZ Mozambique, SD Sudan, SL Sierra Leone, SZ Swaziland, TZ United Republic of Tanzania, UG Uganda, ZM Zambia, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT (if other kind of protection or treatment desired, specify on dotted line) .....
- ☒ **EA Eurasian Patent:** AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakhstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT
- ☒ **EP European Patent:** AT Austria, BE Belgium, BG Bulgaria, CH & LI Switzerland and Liechtenstein, CY Cyprus, CZ Czech Republic, DE Germany, DK Denmark, EE Estonia, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, SI Slovenia, SK Slovakia, TR Turkey, and any other State which is a Contracting State of the European Patent Convention and of the PCT
- ☒ **OA OAPI Patent:** BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, GQ Equatorial Guinea, GW Guinea-Bissau, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (if other kind of protection or treatment desired, specify on dotted line) .....

**National Patent** (if other kind of protection or treatment desired, specify on dotted line):

- |   |  |   |
|---|--|---|
| <input checked="" type="checkbox"/> AE United Arab Emirates               | <input checked="" type="checkbox"/> GM Gambia                                    | <input checked="" type="checkbox"/> NZ New Zealand                      |
| <input checked="" type="checkbox"/> AG Antigua and Barbuda                | <input checked="" type="checkbox"/> HR Croatia                                   | <input checked="" type="checkbox"/> OM Oman                             |
| <input checked="" type="checkbox"/> AL Albania                            | <input checked="" type="checkbox"/> HU Hungary                                   | <input checked="" type="checkbox"/> PH Philippines                      |
| <input checked="" type="checkbox"/> AM Armenia                            | <input checked="" type="checkbox"/> ID Indonesia                                 | <input checked="" type="checkbox"/> PL Poland                           |
| <input checked="" type="checkbox"/> AT Austria                            | <input checked="" type="checkbox"/> IL Israel                                    | <input checked="" type="checkbox"/> PT Portugal                         |
| <input checked="" type="checkbox"/> AU Australia                          | <input checked="" type="checkbox"/> IN India                                     | <input checked="" type="checkbox"/> RO Romania                          |
| <input checked="" type="checkbox"/> AZ Azerbaijan                         | <input checked="" type="checkbox"/> IS Iceland                                   | <input checked="" type="checkbox"/> RU Russian Federation               |
| <input checked="" type="checkbox"/> BA Bosnia and Herzegovina             | <input checked="" type="checkbox"/> JP Japan                                     |   |
| <input checked="" type="checkbox"/> BB Barbados                           | <input checked="" type="checkbox"/> KE Kenya                                     | <input checked="" type="checkbox"/> SC Seychelles                       |
| <input checked="" type="checkbox"/> BG Bulgaria                           | <input checked="" type="checkbox"/> KG Kyrgyzstan                                | <input checked="" type="checkbox"/> SD Sudan                            |
| <input checked="" type="checkbox"/> BR Brazil                             | <input type="checkbox"/> KP Democratic People's Republic of Korea                | <input checked="" type="checkbox"/> SE Sweden                           |
| <input checked="" type="checkbox"/> BY Belarus                            | <input checked="" type="checkbox"/> KR Republic of Korea                         | <input checked="" type="checkbox"/> SG Singapore                        |
| <input checked="" type="checkbox"/> BZ Belize                             | <input checked="" type="checkbox"/> KZ Kazakhstan                                | <input checked="" type="checkbox"/> SK Slovakia                         |
| <input checked="" type="checkbox"/> CA Canada                             | <input checked="" type="checkbox"/> LC Saint Lucia                               | <input checked="" type="checkbox"/> SL Sierra Leone                     |
| <input checked="" type="checkbox"/> CH & LI Switzerland and Liechtenstein | <input checked="" type="checkbox"/> LK Sri Lanka                                 | <input checked="" type="checkbox"/> TJ Tajikistan                       |
| <input checked="" type="checkbox"/> CN China                              | <input checked="" type="checkbox"/> LR Liberia                                   | <input checked="" type="checkbox"/> TM Turkmenistan                     |
| <input checked="" type="checkbox"/> CO Colombia                           | <input checked="" type="checkbox"/> LS Lesotho                                   | <input checked="" type="checkbox"/> TN Tunisia                          |
| <input checked="" type="checkbox"/> CR Costa Rica                         | <input checked="" type="checkbox"/> LT Lithuania                                 | <input checked="" type="checkbox"/> TR Turkey                           |
| <input checked="" type="checkbox"/> CU Cuba                               | <input checked="" type="checkbox"/> LU Luxembourg                                | <input checked="" type="checkbox"/> TT Trinidad and Tobago              |
| <input checked="" type="checkbox"/> CZ Czech Republic                     | <input checked="" type="checkbox"/> LV Latvia                                    |   |
| <input checked="" type="checkbox"/> DE Germany                            | <input checked="" type="checkbox"/> MA Morocco                                   | <input checked="" type="checkbox"/> TZ United Republic of Tanzania      |
| <input checked="" type="checkbox"/> DK Denmark                            | <input checked="" type="checkbox"/> MD Republic of Moldova                       | <input checked="" type="checkbox"/> UA Ukraine                          |
| <input checked="" type="checkbox"/> DM Dominica                           |  | <input checked="" type="checkbox"/> UG Uganda                           |
| <input checked="" type="checkbox"/> DZ Algeria                            | <input checked="" type="checkbox"/> MG Madagascar                                | <input checked="" type="checkbox"/> US United States of America         |
| <input checked="" type="checkbox"/> EC Ecuador                            | <input checked="" type="checkbox"/> MK The former Yugoslav Republic of Macedonia |   |
| <input checked="" type="checkbox"/> EE Estonia                            | <input checked="" type="checkbox"/> MN Mongolia                                  | <input checked="" type="checkbox"/> UZ Uzbekistan                       |
| <input checked="" type="checkbox"/> ES Spain                              | <input checked="" type="checkbox"/> MW Malawi                                    | <input checked="" type="checkbox"/> VC Saint Vincent and the Grenadines |
| <input checked="" type="checkbox"/> FI Finland                            | <input checked="" type="checkbox"/> MX Mexico                                    | <input checked="" type="checkbox"/> VN Viet Nam                         |
| <input checked="" type="checkbox"/> GB United Kingdom                     | <input checked="" type="checkbox"/> MZ Mozambique                                | <input checked="" type="checkbox"/> YU Yugoslavia                       |
| <input checked="" type="checkbox"/> GD Grenada                            | <input checked="" type="checkbox"/> NO Norway                                    | <input checked="" type="checkbox"/> ZA South Africa                     |
| <input checked="" type="checkbox"/> GE Georgia                            |  | <input checked="" type="checkbox"/> ZM Zambia                           |
| <input checked="" type="checkbox"/> GH Ghana                              |  | <input checked="" type="checkbox"/> ZW Zimbabwe                         |

Check-boxes below reserved for designating States which have become party to the PCT after issuance of this sheet:

- ☒ NI Republic of Nicaragua ☐ .....
- ☐ ..... ☐ .....

**Precautionary Designation Statement:** In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. (Confirmation (including fees) must reach the receiving Office within the 15-month time limit.)

**Box No. VI PRIORITY CLAIM**

The priority of the following earlier application(s) is hereby claimed:

Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country or Member of WTO	regional application:* regional Office	international application: receiving Office
item (1) 07.06.02	Patent Application No. 2002-166504	JP		
item (2)				
item (3)				
item (4)				
item (5)				

☐ Further priority claims are indicated in the Supplemental Box.

The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of this international application is the receiving Office) identified above as:

☒ all items    ☐ item (1)    ☐ item (2)    ☐ item (3)    ☐ item (4)    ☐ item (5)    ☐ other, see Supplemental Box

\* Where the earlier application is an ARIPO application, indicate at least one country party to the Paris Convention for the Protection of Industrial Property or one Member of the World Trade Organization for which that earlier application was filed (Rule 4.10(b)(ii)): ....

**Box No. VII INTERNATIONAL SEARCHING AUTHORITY**

Choice of International Searching Authority (ISA) (if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):

ISA / JP

Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority):

Date (day/month/year)

Number

Country (or regional Office)

**Box No. VIII DECLARATIONS**

The following declarations are contained in Boxes Nos. VIII (i) to (v) (mark the applicable check-boxes below and indicate in the right column the number of each type of declaration):

Number of  
declarations

- |   |  |   |
|---|--|---|
| <input type="checkbox"/> Box No. VIII (i)   | Declaration as to the identity of the inventor   | : |
| <input type="checkbox"/> Box No. VIII (ii)  | Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent             | : |
| <input type="checkbox"/> Box No. VIII (iii) | Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application | : |
| <input type="checkbox"/> Box No. VIII (iv)  | Declaration of inventorship (only for the purposes of the designation of the United States of America)                               | : |
| <input type="checkbox"/> Box No. VIII (v)   | Declaration as to non-prejudicial disclosures or exceptions to lack of novelty   | : |

Box No. IX CHECK LIST; LANGUAGE OF FILING						
<p>This international application contains:</p> <p>(a) in paper form, the following number of sheets :</p> <p>request (including declaration sheets) : 8</p> <p>description (excluding sequence listings and/or tables related thereto) : 447</p> <p>claims : 10</p> <p>abstract : 1</p> <p>drawings : 0</p> <p>Sub-total number of sheets : 466</p> <p>sequence listings : 0</p> <p>tables related thereto : 0</p> <p>(for both, actual number of sheets if filed in paper form, whether or not also filed in computer readable form; see (c) below)</p> <p>Total number of sheets : 466</p> <p>(b) <input type="checkbox"/> only in computer readable form (Section 801(a)(i))</p> <p>(i) <input type="checkbox"/> sequence listings</p> <p>(ii) <input type="checkbox"/> tables related thereto</p> <p>(c) <input type="checkbox"/> also in computer readable form (Section 801(a)(ii))</p> <p>(i) <input type="checkbox"/> sequence listings</p> <p>(ii) <input type="checkbox"/> tables related thereto</p> <p>Type and number of carriers (diskette, CD-ROM, CD-R or other) on which are contained the</p> <p><input type="checkbox"/> sequence listings: .....</p> <p><input type="checkbox"/> tables related thereto: .....</p> <p>(additional copies to be indicated under items 9(ii) and/or 10(ii), in right column)</p>	<p>This international application is accompanied by the following item(s) (mark the applicable check-boxes below and indicate in right column the number of each item):</p> <p>1. <input checked="" type="checkbox"/> fee calculation sheet : 1</p> <p>2. <input type="checkbox"/> original separate power of attorney : .....</p> <p>3. <input type="checkbox"/> original general power of attorney : .....</p> <p>4. <input type="checkbox"/> copy of general power of attorney; reference number, if any: .....</p> <p>5. <input type="checkbox"/> statement explaining lack of signature : .....</p> <p>6. <input type="checkbox"/> priority document(s) identified in Box No. VI as item(s): .....</p> <p>7. <input type="checkbox"/> translation of international application into (language): .....</p> <p>8. <input type="checkbox"/> separate indications concerning deposited microorganism or other biological material : .....</p> <p>9. <input type="checkbox"/> sequence listings in computer readable form (indicate type and number of carriers)</p> <p>(i) <input type="checkbox"/> copy submitted for the purposes of international search under Rule 13ter only (and not as part of the international application) : .....</p> <p>(ii) <input type="checkbox"/> (only where check-box (b)(i) or (c)(i) is marked in left column) additional copies including, where applicable, the copy for the purposes of international search under Rule 13ter : .....</p> <p>(iii) <input type="checkbox"/> together with relevant statement as to the identity of the copy or copies with the sequence listings mentioned in left column : .....</p> <p>10. <input type="checkbox"/> tables in computer readable form related to sequence listings (indicate type and number of carriers)</p> <p>(i) <input type="checkbox"/> copy submitted for the purposes of international search under Section 802(b-quater) only (and not as part of the international application) : .....</p> <p>(ii) <input type="checkbox"/> (only where check-box (b)(ii) or (c)(ii) is marked in left column) additional copies including, where applicable, the copy for the purposes of international search under Section 802(b-quater) : .....</p> <p>(iii) <input type="checkbox"/> together with relevant statement as to the identity of the copy or copies with the tables mentioned in left column : .....</p> <p>11. <input type="checkbox"/> other (specify): .....</p>	<p>Number of items</p>				
<p>Figure of the drawings which should accompany the abstract:</p>	<p>Language of filing of the international application: Japanese</p>					
<p>Box No. X SIGNATURE OF APPLICANT, AGENT OR COMMON REPRESENTATIVE</p> <p>Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).</p> <table style="width: 100%; border: none;"> <tr> <td style="width: 33%; vertical-align: top;"> KYOWA HAKKO KOGYO CO., LTD.  ISHIDA Hiroshi  OHSHIMA Etsuo  KAWABE Ari  MIKI Ichiro </td> <td style="width: 33%; vertical-align: top;"> YANAGAWA Koji  NAKANISHI Satoshi  UENO Kimihisa </td> <td style="width: 33%; vertical-align: top;"> ARAI Hitoshi  YAMAURA Yosuke  MIYAMA Motoki  KOBAYASHI Katsuya  FUJII Shinya </td> <td style="width: 33%; vertical-align: top;"> MATSUMURA Tsutomu  ARATAKE Seiji  SUZUKI Koji  SATO Takashi  IWASE Miho </td> </tr> </table>			KYOWA HAKKO KOGYO CO., LTD. ISHIDA Hiroshi OHSHIMA Etsuo KAWABE Ari MIKI Ichiro	YANAGAWA Koji NAKANISHI Satoshi UENO Kimihisa	ARAI Hitoshi YAMAURA Yosuke MIYAMA Motoki KOBAYASHI Katsuya FUJII Shinya	MATSUMURA Tsutomu ARATAKE Seiji SUZUKI Koji SATO Takashi IWASE Miho
KYOWA HAKKO KOGYO CO., LTD. ISHIDA Hiroshi OHSHIMA Etsuo KAWABE Ari MIKI Ichiro	YANAGAWA Koji NAKANISHI Satoshi UENO Kimihisa	ARAI Hitoshi YAMAURA Yosuke MIYAMA Motoki KOBAYASHI Katsuya FUJII Shinya	MATSUMURA Tsutomu ARATAKE Seiji SUZUKI Koji SATO Takashi IWASE Miho			

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